Claims:

1. A compound represented by formula (I):

$$\begin{array}{c|c}
X & 6 & N & 2 & NHR^1 \\
Y & N & 2 & NHR^2 & R^4
\end{array}$$
(I)

wherein

X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or $-N(R^2)_2$;

R¹ is hydrogen or lower alkyl;

$$\begin{split} & \text{ each } R^2 \text{ is, independently, -R}^7, \text{-}(CH_2)_m\text{-}OR^8, \text{-}(CH_2)_m\text{-}NR}^7R^{10}, \\ & \text{-}(CH_2)_n(CHOR^8)(CHOR^8)_n\text{-}CH_2OR^8, \text{-}(CH_2CH_2O)_m\text{-}R}^8, \\ & \text{-}(CH_2CH_2O)_m\text{-}CH_2CH_2NR}^7R^{10}, \text{-}(CH_2)_n\text{-}C(=O)NR}^7R^{10}, \text{-}(CH_2)_n\text{-}Z_g\text{-}R}^7, \text{-}(CH_2)_m\text{-}NR^{10}\text{-}CH_2(CHOR^8)(CHOR^8)_n\text{-}CH_2OR^8, \text{-}(CH_2)_n\text{-}CO_2R}^7, \text{ or } \end{split}$$

$$-(CH_2)_n - O R^7$$

R³ and R⁴ are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower (alkoxyphenyl)-lower alkyl, naphthyl-lower alkyl, or

pyridyl- lower alkyl, with the proviso that at least one of R^3 and R^4 is a group represented by formula (A):

$$--(C(R^{L})_{2})_{0}-x-(C(R^{L})_{2})_{p}-\sqrt{Q-Q} \qquad (A)$$

wherein

each R^L is, independently, $-R^7$, $-(CH_2)_n$ -OR⁸, -O- $(CH_2)_m$ -OR⁸,

 $-(CH_2)_n-NR^7R^{10}, -O-(CH_2)_m-NR^7R^{10}, -(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8,$

-O-(CH₂)_m(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, -(CH₂CH₂O)_m-R⁸,

 $-O-(CH_2CH_2O)_m-R^8$, $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$,

 $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-(CH_2)_n-C(=O)NR^7R^{10}$,

 $-O-(CH_2)_m-C(=O)NR^7R^{10}, -(CH_2)_n-(Z)_g-R^7, -O-(CH_2)_m-(Z)_g-R^7,$

-(CH₂)_n-NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸,

-O-(CH₂)_m-NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸,

-(CH₂)_n-CO₂R⁷, -O-(CH₂)_m-CO₂R⁷, -OSO₃H, -O-glucuronide, -O-glucose,

$$-O\left(CH_2\right)_m O R^7 \quad \text{or} \quad -(CH_2)_n O R^7 \quad ;$$

each o is, independently, an integer from 0 to 10;

each p is an integer from 0 to 10;

with the proviso that the sum of o and p in each contiguous chain is from 1 to 10;

each x is, independently, O, NR^{10} , C(=O), CHOH, C(=N- R^{10}), CHNR⁷ R^{10} , or represents a single bond;

each R⁵ is, independently, -(CH₂)_m-OR⁸, -O-(CH₂)_m-OR⁸,

 $-(CH_2)_n - NR^7R^{10}$, $-O-(CH_2)_m - NR^7R^{10}$, $-(CH_2)_n (CHOR^8)(CHOR^8)_n - CH_2OR^8$,

 $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8, -(CH_2CH_2O)_m-R^8,\\$

-O-(CH₂CH₂O)_m-R⁸, -(CH₂CH₂O)_m-CH₂CH₂NR⁷R¹⁰,

 $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-(CH_2)_n-C(=O)NR^7R^{10}$,

-O- $(CH_2)_m$ -C(=O)NR⁷R¹⁰,- $(CH_2)_n$ - $(Z)_g$ -R⁷,-O- $(CH_2)_m$ - $(Z)_g$ -R⁷,

 $\hbox{-(CH$_2$)}_n\hbox{-NR$^{10}-CH$_2$(CHOR8)(CHOR8)}_n\hbox{-CH$_2$OR8},$

 $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$

-(CH₂)_n-CO₂R⁷, -O-(CH₂)_m-CO₂R⁷, -OSO₃H, -O-glucuronide, -O-glucose,

$$-O\left(CH_{2}\right)_{m} O R^{7}$$

$$O COR^{11}$$
or
$$O COR^{11}$$

$$O COR^{11}$$

$$O COR^{11}$$

each R^6 is, independently, $-R^7$, $-OR^{11}$, $-N(R^7)_2$, $-(CH_2)_m$ - OR^8 ,

 $-O-(CH_2)_m-OR^8$, $-(CH_2)_n-NR^7R^{10}$, $-O-(CH_2)_m-NR^7R^{10}$,

 $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8, -O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8, -O-(CH_2)_m(CHOR^8)_n-CH_2OR^8, -O-(CH_2)_m(CHOR^8)_n-CH_2OR^8)_n-CH_2OR^8, -O-(CH_2)_m(CHOR^8)_n-CH_2$

-(CH₂CH₂O)_m-R⁸, -O-(CH₂CH₂O)_m-R⁸, -(CH₂CH₂O)_m-CH₂CH₂NR⁷R¹⁰,

 $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$, $-(CH_2)_n-C(=O)NR^7R^{10}$,

 $-O-(CH_2)_m-C(=O)NR^7R^{10}, -(CH_2)_n-(Z)_g-R^7, -O-(CH_2)_m-(Z)_g-R^7,$

-(CH₂)_n-NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸,

-O-(CH₂)_m-NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸,

-(CH₂)_n-CO₂R⁷, -O-(CH₂)_m-CO₂R⁷, -OSO₃H, -O-glucuronide, -O-glucose,

$$-O\left(CH_2\right)_m$$
 or $-(CH_2)_n$ Q R^7 ;

wherein when two R^6 are -OR¹¹ and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two R^6 may be bonded together to form a methylenedioxy

group;

each R^7 is, independently, hydrogen or lower alkyl; each R^8 is, independently, hydrogen, lower alkyl, -C(=O)- R^{11} , glucuronide, 2-tetrahydropyranyl, or

$$O \longrightarrow OR^{11}$$

$$O \longrightarrow OCOR^{11}$$

$$OCOR^{11}$$

$$OCOR^{11}$$

each R⁹ is, independently, -CO₂R⁷, -CON(R⁷)₂, -SO₂CH₃, or -C(=O)R⁷; each R¹⁰ is, independently, -H, -SO₂CH₃, -CO₂R⁷, -C(=O)NR⁷R⁹,

-C(=O)R⁷, or -CH₂-(CHOH)_n-CH₂OH;
each Z is, independently, CHOH, C(=O), CHNR⁷R¹⁰, C=NR¹⁰, or NR¹⁰; each R¹¹ is, independently, lower alkyl;
each g is, independently, an integer from 1 to 6;
each m is, independently, an integer from 1 to 7;
each n is, independently, an integer from 0 to 7;
each Q is, independently, C-R⁵, C-R⁶, or a nitrogen atom, wherein at most three Q in a ring are nitrogen atoms;
or a pharmaceutically acceptable salt thereof, and inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

- 2. The compound of Claim 1, wherein Y is -NH₂.
- 3. The compound of Claim 2, wherein R² is hydrogen.
- 4. The compound of Claim 3, wherein R¹ is hydrogen.
- 5. The compound of Claim 4, wherein X is chlorine.

- 6. The compound of Claim 5, wherein R³ is hydrogen.
- 7. The compound of Claim 6, wherein each R^L is hydrogen.
- 8. The compound of Claim 7, wherein o is 4.
- 9. The compound of Claim 8, wherein p is 0.
- 10. The compound of Claim 9, wherein x represents a single bond.
- 11. The compound of Claim 10, wherein each R⁶ is hydrogen.
- 12. The compound of Claim 11, wherein at most one Q is a nitrogen atom.
- 13. The compound of Claim 12, wherein no Q is a nitrogen atom.
- 14. The compound of Claim 13, wherein R^5 is $-(CH_2)_m-OR^8$.
- 15. The compound of Claim 14, which is represented by the formula:

$$\begin{array}{c} O & NH \\ NH & NH \\ NH_2 \end{array} \\ OH$$

16. The compound of Claim 14, which is represented by the formula:

17. The compound of Claim 13, wherein R⁵ is -O-(CH₂)_m-OR⁸.

18. The compound of Claim 17, which is represented by the formula:

19. The compound of Claim 17, which is represented by the formula:

$$\begin{array}{c|c} O & NH \\ \hline \\ CI & NH \\ NH & NH \end{array}$$

20. The compound of Claim 17, which is represented by the formula:

$$\begin{array}{c|c} Cl & NH & O & OH \\ & N & NH_2 & NH_2 & O & OH \\ \end{array}$$

21. The compound of Claim 13, wherein R^5 is $-(CH_2)_n-NR^7R^{10}$.

22. The compound of Claim 21, which is represented by the formula:

$$\begin{array}{c|c} Cl & NH \\ & NH_2 \\ & H_2N \end{array}$$

- 23. The compound of Claim 13, wherein R^5 is $-O-(CH_2)_m-NR^7R^{10}$.
- 24. The compound of Claim 23, which is represented by the formula:

$$\begin{array}{c|c} Cl & NH & OCH_2CH_2NH_2 \\ \hline \\ H_2N & NH_2 & \end{array}$$

25. The compound of Claim 23, which is represented by the formula:

$$\begin{array}{c|c} O & NH \\ \hline \\ Cl & NH \\ NH \\ NH_2 \end{array} \\ \begin{array}{c} O - CH_2 - CH_2NHCO_2C(CH_3)_3 \\ \hline \\ NH_2 \end{array}$$

- 26. The compound of Claim 13, wherein R⁵ is -(CH₂)_n(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸.
- 27. The compound of Claim 13, wherein R^5 is-O-(CH₂)_m(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸.

28. The compound of Claim 27, which is represented by the formula:

$$\begin{array}{c|c}
O & NH \\
O & NH \\
NH & NH \\
\end{array}$$

$$\begin{array}{c|c}
O & OH \\
OH & OH \\
\end{array}$$

29. The compound of Claim 27, which is represented by the formula:

$$\begin{array}{c|c}
O & NH \\
O & NH \\
NH & NH \\
NH_2
\end{array}$$
OAc
$$OAc$$

$$OAc$$

$$OAc$$

$$OAc$$

$$OAc$$

$$OAc$$

$$OAc$$

$$OAc$$

30. The compound of Claim 27, which is represented by the formula:

31. The compound of Claim 27, which is represented by the formula:

O NH OH

CI N NH NH

NH NH

NH₂N

NH₂N

32. The compound of Claim 27, which is represented by the formula:

- 33. The compound of Claim 13, wherein R⁵ is -(CH₂CH₂O)_m-R⁸.
- 34. The compound of Claim 13, wherein R^5 is -O-(CH_2CH_2O)_m- R^8 .
- 35. The compound of Claim 34, which is represented by the formula:

36. The compound of Claim 34, which is represented by the formula:

37. The compound of Claim 34, which is represented by the formula:

- 38. The compound of Claim 13, wherein R⁵ is -(CH₂CH₂O)_m-CH₂CH₂NR⁷R¹⁰.
- 39. The compound of Claim 13, wherein R^5 is -O-($CH_2CH_2O)_m$ - $CH_2CH_2NR^7R^{10}$.
- 40. The compound of Claim 13, wherein R^5 is $-(CH_2)_n-C(=O)NR^7R^{10}$.
- 41. The compound of Claim 13, wherein R^5 is $-O-(CH_2)_m-C(=O)NR^7R^{10}$.
- 42. The compound of Claim 13, wherein R^5 is $-(CH_2)_n-(Z)_g-R^7$.
- 43. The compound of Claim 13, wherein R^5 is -O-(CH₂)_m-(Z)_g- R^7 .
- 44. The compound of Claim 43, which is represented by the formula:

$$\begin{array}{c} O - CH_2 - CHOH - CH_2NH_2 \\ N \\ H_2N \end{array}$$

45. The compound of Claim 43, which is represented by the formula:

$$\begin{array}{c|c} OH \\ O \\ NH \\ NH \\ NH_2 \end{array}$$

- 46. The compound of Claim 13, wherein R^5 is- $(CH_2)_n$ - NR^{10} - $CH_2(CHOR^8)$ (CHOR⁸) $_n$ - CH_2OR^8 .
- 47. The compound of Claim 13, wherein R⁵ is -O-(CH₂)_m-NR¹⁰-CH₂(CHOR⁸) (CHOR⁸)_n-CH₂OR⁸.
- 48. The compound of Claim 13, wherein R⁵ is -O-(CH₂)_m-CO₂R⁷.
- 49. The compound of Claim 13, wherein R⁵ is -OSO₃H.
- 50. The compound of Claim 13, wherein R⁵ is -O-glucuronide.
- 51. The compound of Claim 13, wherein R⁵ is -O-glucose.
- 52. The compound of Claim 13, wherein R⁵ is

 $-O\left(CH_2\right)_{m}O\left(R^7\right)$

53. The compound of Claim 52, which is represented by the formula:

54. The compound of Claim 13, wherein R⁵ is

$$-(CH_2)_n - O R^7$$

55. The compound of Claim 13, wherein R⁵ is

$$O \longrightarrow OR^{11}$$

$$O \longrightarrow OCOR^{11}$$

$$OCOR^{11}$$

$$OCOR^{11}$$

56. The compound of Claim 55, which is represented by the formula:

$$\begin{array}{c|c}
O & OMe \\
O & OAc \\
O & OAc \\
OAc \\
OAc \\
NH & NH_2
\end{array}$$

57. The compound of Claim 1, wherein

X is halogen;

Y is $-N(R^7)_2$;

 R^1 is hydrogen or C_1 - C_3 alkyl;

 R^2 is $-R^7$, $-(CH_2)_m$ -OR⁸, or $-(CH_2)_n$ -CO₂R⁷;

R³ is a group represented by formula (A); and

R⁴ is hydrogen, a group represented by formula (A), or lower alkyl;

58. The compound of Claim 57, wherein

X is chloro or bromo;

Y is $-N(R^7)_2$;

 R^2 is hydrogen or C_1 - C_3 alkyl;

at most three R^6 are other than hydrogen as defined above; at most three R^L are other than hydrogen as defined above; and at most 2 Q are nitrogen atoms.

59. The compound of Claim 58, wherein Y is -NH₂.

60. The compound of Claim 59, wherein R⁴ is hydrogen; at most one R^L is other than hydrogen as defined above; at most two R⁶ are other than hydrogen as defined above; and at most 1 Q is a nitrogen atom.

- 61. The compound of Claim 1, wherein R⁵ is -(CH₂)_m-OR⁸.
- 62. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m-OR^8$.
- 63. The compound of Claim 1, wherein R^5 is $-(CH_2)_n NR^7 R^{10}$.
- 64. The compound of Claim 1, wherein R⁵ is -O-(CH₂)_m-NR⁷R¹⁰.
- 65. The compound of Claim 1, wherein R⁵ is -(CH₂)_n(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸.
- 66. The compound of Claim 1, wherein R^5 is -O-(CH₂)_m(CHOR⁸) (CHOR⁸)_n-CH₂OR⁸.
 - 67. The compound of Claim 1, wherein R⁵ is -(CH₂CH₂O)_m-R⁸.
 - 68. The compound of Claim 1, wherein R⁵ is -O-(CH₂CH₂O)_m-R⁸.
 - 69. The compound of Claim 1, wherein R⁵ is -(CH₂CH₂O)_m-CH₂CH₂NR⁷R¹⁰.
 - 70. The compound of Claim 1, wherein R⁵ is -O-(CH₂CH₂O)_m-CH₂CH₂NR⁷R¹⁰.
 - 71. The compound of Claim 1, wherein R^5 is $-(CH_2)_n-C(=O)NR^7R^{10}$.
 - 72. The compound of Claim 1, wherein R⁵ is -O-(CH₂)_m-C(=O)NR⁷R¹⁰.
 - 73. The compound of Claim 1, wherein R^5 is $-(CH_2)_n-(Z)_g-R$.
 - 74. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m-(Z)_g-R^7$.
- 75. The compound of Claim 1, wherein R^5 is -(CH₂)_n-NR¹⁰-CH₂(CHOR⁸) (CHOR⁸)_n-CH₂OR⁸.

76. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)$ (CHOR⁸), $-CH_2OR^8$.

- 77. The compound of Claim 1, wherein R^5 is $-O-(CH_2)_m-CO_2R^7$.
- 78. The compound of Claim 1, wherein R⁵ is -OSO₃H.
- 79. The compound of Claim 1, wherein R⁵ is -O-glucuronide.
- 80. The compound of Claim 1, wherein R⁵ is -O-glucose.
- 81. The compound of Claim 1, wherein R⁵ is

$$-O\left(CH_2\right)_{m}^{O} \stackrel{R^7}{\underset{O}{\nearrow}} R^7$$
.

82. The compound of Claim 1, wherein R⁵ is

—
$$(CH_2)_n$$
— Q
 R^7
 R^7

83. The compound of Claim 1, wherein R⁵ is

$$O \longrightarrow OR^{11}$$

$$O \longrightarrow OCOR^{11}$$

$$OCOR^{11}$$

$$OCOR^{11}$$

Contraction of

- 84. The compound of Claim 1, wherein x is a single bond.
- 85. The compound of Claim 1, which is in the form of a pharmaceutically acceptable salt.
- 86. A pharmaceutical composition, comprising the compound of Claim 1 and a pharmaceutically acceptable carrier.
- 87. A method of promoting hydration of mucosal surfaces, comprising: administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject.
- 88. A method of restoring mucosal defense, comprising: topically administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject in need thereof.
 - 89. A method of blocking sodium channels, comprising: contacting sodium channels with an effective amount of the compound of Claim 1.
- 90. A method of treating chronic bronchitis, comprising:
 administering an effective amount of the compound of Claim 1 to a subject in need thereof.
- 91. A method of treating cystic fibrosis, comprising:
 administering an effective amount of the compound of Claim 1 to a subject in need thereof.
- 92. A method of treating sinusitis, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.
 - 93. A method of treating vaginal dryness, comprising:

administering an effective amount of the compound of Claim 1 to the vaginal tract of a subject in need thereof.

94. A method of treating dry eye, comprising:

administering an effective amount of the compound of Claim 1 to the eye of a subject in need thereof.

- 95. A method of promoting ocular hydration, comprising: administering an effective amount of the compound of Claim 1 to the eye of a subject.
- 96. A method of promoting corneal hydration, comprising: administering an effective amount of the compound of Claim 1 to the eye of a subject.
- 97. A method of promoting mucus clearance in mucosal surfaces, comprising: administering an effective amount of the compound of Claim 1 to a mucosal surface of a subject.
- 98. A method of treating Sjogren's disease, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.
- 99. A method of treating distal intestinal obstruction syndrome, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.
- 100. A method of treating dry skin, comprising: administering an effective amount of the compound of Claim 1 to the skin of a subject in need thereof.
- 101. A method of treating esophagitis, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.

q . 34, ,

- 102. A method of treating dry mouth (xerostomia), comprising: administering an effective amount of the compound of Claim 1 to the mouth of a subject in need thereof.
- 103. A method of treating nasal dehydration, comprising: administering an effective amount of the compound of Claim 1 to the nasal passages of a subject in need thereof.
- 104. The method of Claim 103, wherein the nasal dehydration is brought on by administering dry oxygen to the subject.
- 105. A method of preventing ventilator-induced pneumonia, comprising: administering an effective amount of the compound of Claim 1 to a subject on a ventilator.
- 106. A method of treating asthma, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.
- 107. A method of treating primary ciliary dyskinesia, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.
- 108. A method of treating otitis media, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.
- 109. A method of inducing sputum for diagnostic purposes, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.

A ST.

110. A method of treating chronic obstructive pulmonary disease, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.

111. A method of treating emphysema, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.

112. A method of treating pneumonia, comprising:
administering an effective amount of the compound of Claim 1 to a subject in need thereof.

113. A method of treating constipation, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.

- 114. The method of Claim 113, wherein the compound is administered orally or via a suppository or enema.
- 115. A method of treating chronic diverticulitis, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.
- 116. A method of treating rhinosinusitis, comprising: administering an effective amount of the compound of Claim 1 to a subject in need thereof.
 - 117. A composition, comprising: the compound of Claim 1; and a P2Y2 inhibitor.

118. A composition, comprising: the compound of Claim 1; and a bronchodilator.

- 119. The compound of Claim 1, wherein R⁵ is selected from the group consisting of
 - -O-(CH₂)₃-OH, -NH₂, -O-CH₂-(CHOH)₂-CH₂OH -O-CH₂-CHOH-CH₂OH,
 - -O-CH₂CH₂-O-tetrahydropyran-2-yl,-O-CH₂CHOH-CH₂-O-glucuronide,
 - -O-CH₂CH₂OH, -O-(CH₂CH₂O)₄-CH₃, -O-CH₂CH₂OCH₃,
 - -O-CH₂-(CHOC(=O)CH₃)-CH₂-OC(=O)CH₃, -O-(CH₂CH₂O)₂-CH₃,
 - -OCH₂-CHOH-CHOH-CH₂OH, -CH₂OH, -CO₂CH₃,

$$-O\left(CH_2\right)_{m} O \left(\frac{R^7}{R^7}\right)$$

and

4 m 6

120. The compound of Claim 1, wherein R⁵ is selected from the group consisting of para -O-(CH₂)₃-OH, para -NH₂, para -O-CH₂-(CHOH)₂-CH₂OH, ortho -O-CH₂-CHOH-CH₂OH, meta -O-CH₂-CHOH-CH₂OH, para -O-CH₂CH₂-O-tetrahydropyran-2-yl, para -O-CH₂CHOH-CH₂-O-glucuronide, para -O-CH₂CH₂OH, para -O-(CH₂CH₂O)₄-CH₃, para -O-CH₂CH₂OCH₃, para -O-CH₂-(CHOC(=O)CH₃)-CH₂-OC(=O)CH₃, para -O-(CH₂CH₂O)₂-CH₃, -OCH₂-CHOH-CHOH-CH₂OH, para -CH₂OH, para -CO₂CH₃, para -SO₃H, para -O-glucuronide, para

 $-O\left(CH_2\right)_m O R^7$

and para

121. The compound of Claim 119, wherein

X is chloro or bromo;

Y is $-N(R^7)_2$;

R¹ is hydrogen or C₁-C₃ alkyl;

R² is hydrogen or C₁-C₃ alkyl;

 R^3 is a group represented by formula (A); and

 R^4 is hydrogen, a group represented by formula (A), or lower alkyl; at most three R^6 are other than hydrogen as defined above; at most three R^L are other than hydrogen as defined above; and at most 2 Q are nitrogen atoms.

122. The compound of Claim 121, wherein

R⁴ is hydrogen;

at most one R^L is other than hydrogen as defined above; at most two R^6 are other than hydrogen as defined above; and at most 1 Q is a nitrogen atom.

123. The compound of Claim 120, wherein X is chloro or bromo; Y is $-N(R^7)_2$; R^1 is hydrogen or C_1 - C_3 alkyl; R^2 is hydrogen or C_1 - C_3 alkyl; R^3 is a group represented by formula (A); and R^4 is hydrogen, a group represented by formula (A), or lower alkyl; at most three R^6 are other than hydrogen as defined above; at most three R^L are other than hydrogen as defined above; and at most 2 Q are nitrogen atoms.

124. The compound of Claim 123, wherein R⁴ is hydrogen; at most one R^L is other than hydrogen as defined above; at most two R⁶ are other than hydrogen as defined above; and at most 1 Q is a nitrogen atom.